

Claims

1. A tripeptide or tetrapeptide or an alkyl ester thereof comprising a proteolytic enzyme cleavable amino acid moiety as a drug or pharmacologically active site or pharmacologically active group transport and delivery system.

2. The tripeptide or tetrapeptide of claim 1, which is an alkyl ester with the alkyl group being a methyl or an ethyl group, preferably an ethyl group.

3. The tripeptide or tetrapeptide of claim 1 or 2, wherein the proteolytic enzyme cleavable amino acid moiety is a not terminal moiety.

4. The tripeptide or tetrapeptide of anyone of the preceding claims comprising a not terminal optionally substituted phenylalanyl moiety.

5. The tripeptide or tetrapeptide of anyone of the preceding claims that is selected from the group consisting of substituted or unsubstituted Phe-Phe-Pro, Pro-Phe-Phe, Phe-Phe-Ser, Ser-Phe-Phe, Phe-Phe-Asn, Asn-Phe-Phe, Phe-Gly-Phe-Val (Seq. Id. No. 1), Val-Phe-Gly-Phe (Seq. Id. No. 2), Phe-Arg-Phe-His (Seq. Id. No. 3), His-Phe-Arg-Phe (Seq. Id. No. 4), Phe-Arg-Val, Val-Arg-Phe, whereby Pro-Phe-Phe is preferred.

6. The tripeptide or tetrapeptide of anyone of the preceding claims, wherein the terminal Phe is fluoro substituted in para position, in particular the peptide Pro-Phe-p-F-Phe.

7. A tripeptide or tetrapeptide wherein the proteolytic enzyme cleavable amino acid moiety is substituted with a substituent sufficiently reactive to be useful in drug coupling reactions, with the proviso that said substituent is not  $-N(CH_2-CH_2-Cl)_2$  in meta position on the not terminal Phe of Pro-Phe-p-F-Phe.

8. The tripeptide or tetrapeptide of claim 7 wherein the proteolytic enzyme cleavable amino acid moiety is or comprises Phe.

9. Use of a tripeptide or tetrapeptide as defined in anyone of the preceding claims as substituent or part of a substituent of a drug, in particular a drug for the treatment of, arthritis, invasive parasitic  
5 diseases, Paludism (Malaria), AIDS, and tumours, especially cancer.

10. A tripeptide or a tetrapeptide as defined in anyone of claims 1 to 8 that is connected to a drug or a pharmacologically active site or a pharmacologically  
10 active group, with the proviso that it is not prolyl-m-sarcolysyl-p-fluoro-phenylalanine.

11. The tripeptide or tetrapeptide of claim 10 wherein the drug is adriamycin.

12. Use of the tripeptide or tetrapeptide of  
15 claim 10 or 11 for the preparation of a medicament for the treatment of cancer.

13. Use of a tripeptide or tetrapeptide as defined in anyone of claims 1 to 8 that is connected to a drug or a pharmacologically active site or a  
20 pharmacologically active group for the preparation of a medicament for the treatment of arthritis, non cancerous tumours, invasive parasitic diseases, Paludism (Malaria), and AIDS.

14. A method for improving the efficiency of  
25 a drug and/or for reducing the side effects of a drug wherein said drug is coupled to or included in a transport system of one of claims 1 to 8.

15. Use of a drug of claim 10 or 11 for the preparation of a medicament.

30 16. A pharmaceutical composition comprising a tripeptide or a tetrapeptide of claim 10 or 11.

17. Method for the production of an active ingredient of a medicament comprising a transport and delivery system, wherein a drug or a pharmacologically  
35 active site or a pharmacologically active group is coupled with amino acids such that a tripeptide or a tetrapeptide as defined in one of claims 1 to 7 connected

to a drug or a pharmacologically active site or a pharmacologically active group is generated, with the proviso that the pharmacologically active group is not -  $N(CH_2-CH_2-Cl)_2$ .